## (19) World Intellectual Property Organization

International Bureau



## 

(43) International Publication Date 10 February 2005 (10.02.2005)

PCT

## (10) International Publication Number WO 2005/012220 A3

(51) International Patent Classification7: C07C 59/72, 235/34, C07D 207/333, 335/02, 211/60, 309/22, C07C 233/25, 43/295, C07F 9/142, C07C 317/22, A61K 31/192, 31/165, 31/40, 31/382, 31/44

(21) International Application Number:

PCT/US2004/024308

(22) International Filing Date: 27 July 2004 (27.07.2004)

(25) Filing Language:

**English** 

(26) Publication Language:

**English** 

(30) Priority Data: 60/490,588

28 July 2003 (28.07.2003)

(71) Applicant (for all designated States except US): **SMITHKLINE** BEECHAM CORPORATION [US/US]; One Franklin Plaza, Philadelphia, PA 19101 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): BRITTON, Jonathan, E. [US/US]; GlaxoSmithKline, Five Moore Drive, P.O. Box 13398, Research Triangle Park, NC 27709 (US). FANG, Jing [CN/US]; GlaxoSmithKline, Five Moore Drive, P.O. Box 13398, Research Triangle Park, NC 27709 (US). HEYER, Dennis [US/US]; Glaxo-SmithKline, Five Moore Drive, P.O. Box 13398, Research Triangle Park, NC 27709 (US). MILLER, Aaron, Bayne [US/US]; GlaxoSmithKline, Five Moore Drive, P.O. Box 13398, Research Triangle Park, NC 27709 (US). NAVAS, Frank, III [US/US]; GlaxoSmithKline, Five Moore Drive, P.O. Box 13398, Research Triangle Park, NC 27709 (US). SMALLEY, Terrence, Lee, Jr. [US/US]; Glaxo-SmithKline, Five Moore Drive, P.O. Box 13398, Research Triangle Park, NC 27709 (US). ZUERCHER, William, J. [US/US]; GlaxoSmithKline, Five Moore Drive, P.O. Box 13398, Research Triangle Park, NC 27709 (US). KATAM-REDDY, Subba, Reddy [IN/US]; GlaxoSmithKline, Five Moore Drive, P.O. Box 13398, Research Triangle Park, NC 27709 (US).

(74) Agents: LEVY, David, J. et al.; GlaxoSmithKline, Five Moore Drive, P.O. Box 13398, Research Triangle Park, NC 27709 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

## Published:

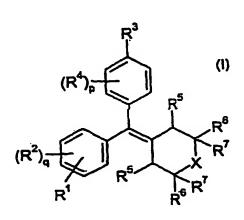
with international search report

before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(88) Date of publication of the international search report: 24 March 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CYCLOALKYLIDENE COMPOUNDS AS MODULATORS OF ESTROGEN RECEPTOR



(57) Abstract: The present invention relates to novel compounds with a variety of therapeutic uses, more particularly novel substituted cyclic alkylidene compounds that are particularly useful for selective estrogen receptor modulation. Formula (I) including salts, solvates, and pharmacologically functional derivatives thereof wherein R1 is OH; each of R2 and R4 independently are selected from OH, alkyl, or halogen; each of p and q independently are selected from 0, 1, or 2; R<sup>3</sup> is -(Y)<sub>z</sub>-R<sup>6</sup>; z is 0 or 1; Y is -C=C- or  $CR^6=CR^1$ -; X is -(CH<sub>2</sub>)<sub>n</sub>- where n is 0, 1, 2, or 3, -C(R<sup>9</sup>)<sub>2</sub>-, -O-, or -S-.